

Patent claims

1. Compounds of inhibitors of dipeptidyl peptidase IV (DP IV), which compounds have the general formula A-B-C, wherein

A is an amino acid

B is a chemical bond between A and C or is an amino acid, and C is an unstable inhibitor of DP IV, namely a dipeptidyl alkyl ketone derivative, with a fluoro alkyl ketone derivative being exempted from the dipeptidyl alkyl ketone derivatives, a dipeptidyl chloroalkyl ketone, dipeptidyl cyanide or a dipeptidyl pyridinium methyl ketone radical.

2. Compounds according to claim 1, characterised in that B is proline, hydroxyproline, thiazolidinecarboxylic acid, dehydroproline, pipecolic acid, azetidinecarboxylic acid or aziridinecarboxylic acid.
3. Compounds according to claim 1 or 2, characterised in that B is proline or hydroxyproline.
4. Compounds according to any one of the preceding claims, characterised in that the dipeptide group is Ile-Thia, Ile-Pyr, Val-Thia or Val-Pyr.
5. Compounds according to any one of the preceding claims, characterised in that the inhibitors are present in salt form.

6. Compounds according to any one of the preceding claims, characterised in that the inhibitors are present as organic salts such as acetates, succinates, tartrates or fumarates or inorganic acid radicals such as phosphates or sulphates.

7. Compounds according to any one of the preceding claims, characterised in that A-B is a dipeptide of formula Ile-Pro or Gly-Pro.

8. Pharmaceutical composition especially for oral administration, characterised in that it comprises at least one compound according to any one of the preceding claims optionally in combination with customary carriers or excipients.

9. Use of compounds or pharmaceutical compositions according to any one of the preceding claims in the preparation of a medicament for the temporally controlled *in vivo* inhibition of DP IV.

10. Use of compounds or pharmaceutical compositions according to any one of claims 1 to 7 in cell-, tissue- or organ-specific inhibition of DP IV.

11. Use of compounds or pharmaceutical compositions according to any one of claims 1 to 7 in the treatment of disorders in mammals that can be treated by modulating the DP IV activity of a mammal.

12. Use according to claim 10 in the treatment of metabolic disorders in humans.

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C is an unstable inhibitor of DP IV.

2. Compounds according to claim 1, characterised in that B is proline, hydroxyproline, thiazolidinecarboxylic acid, dehydroproline, pipecolic acid, azetidinecarboxylic acid or aziridinecarboxylic acid.

3. Compounds according to claim 1 or 2, characterised in that B is proline or hydroxyproline.

4. Compounds according to any one of the preceding claims, characterised in that C is a dipeptide derivative having an active carbonyl group at the C-terminus, such as a dipeptidyl alkyl ketone derivative.

5. Compounds according to any one of the preceding claims, characterised in that C is a dipeptidyl chloroalkyl ketone, dipeptidyl boronic acid, dipeptidyl cyanide or dipeptidyl pyridinium methyl ketone radical.

13. Use according to claim 10 in the treatment of impaired glucose tolerance, glucosuria, hyperlipidaemia, metabolic acidoses, diabetes mellitus, diabetic neuropathy and nephropathy and sequelae of diabetes mellitus in mammals.